# EAST Search History

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|---|---|---|---|---|---|---|
| L1 | 42 | "5399700" | US-PGPUB; USPAT | OR | ON | 2006/12/14 12:24 |
| L2 | 29545 | benzimidazole $6prazole | US-PGPUB; USPAT | OR | ON | 2006/12/14 12:25 |
| L3 | 20444 | cyclodextrin | US-PGPUB; USPAT | OR | ON | 2006/12/14 12:25 |
| L4 | 42 | 1 and (2 3) | US-PGPUB; USPAT | OR | ON | 2006/12/14 12:42 |
| L5 | 90 | 2 same 3 | US-PGPUB; USPAT | OR | ON | 2006/12/14 12:42 |
| L6 | 66 | 5 not 1 | US-PGPUB; USPAT | OR | ON | 2006/12/14 12:42 |
| L7 | 735 | pantoprazole | US-PGPUB; USPAT | OR | ON | 2006/12/14 12:42 |
| L8 | 17 | 6 and 7 | US-PGPUB; USPAT | OR | ON | 2006/12/14 12:42 |

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      (FILE 'HOME' ENTERED AT 11:26:42 ON 14 DEC 2006)

      FILE 'CAPLUS' ENTERED AT 11:26:57 ON 14 DEC 2006
                S PANTOPRAZOLE/CN

      FILE 'REGISTRY' ENTERED AT 11:27:05 ON 14 DEC 2006
L1              1 S PANTOPRAZOLE/CN

      FILE 'CAPLUS' ENTERED AT 11:27:05 ON 14 DEC 2006
L2            807 S L1

      FILE 'REGISTRY' ENTERED AT 11:29:39 ON 14 DEC 2006
L3              1 S L1

      FILE 'CAPLUS' ENTERED AT 11:48:57 ON 14 DEC 2006
L4            929 S PANTOPRAZOLE
L5              9 S PROTONIX
L6              0 S ASTROPAN
L7              1 S PANTOR
L8              3 S PANTOLOC
L9            843 S PROTIUM
L10             7 S PANTOZOL
L11             0 S SCK 96022
L12             4 S SKF 96022
L13          5477 S BY 1023
L14          7282 S L2 OR L4 OR L5 OR L7 OR L8 OR L9 OR L10 OR L11 OR L12 OR L13
L15         32981 S CYCLODEXTRIN
L16            19 S L14 AND L15
L17         25044 S BENZIMIDAZOLE
L18          2568 S PROTON PUMP INHIBITOR
L19          4120 S PPI
L20           185 S L17 AND (L18 OR L19)
L21             2 S L20 AND L15
L22             0 S L21 NOT L16
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L16 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:662596 CAPLUS
DOCUMENT NUMBER: 145:217895
TITLE: Pharmaceutical composition containing gastric parietal cell proton pump inhibitors and β-**cyclodextrin** derivative
INVENTOR(S): Yin, Xiaofeng
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 17 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1689568 | A | 20051102 | CN 2004-10022415 | 20040429 |
| PRIORITY APPLN. INFO.: | | | CN 2004-10022415 | 20040429 |

AB The title pharmaceutical composition contains gastric proton pump inhibitor or salts thereof or crystalline hydrate of the salts, and **cyclodextrin** derivative at a weight ratio of 1:(5-250), wherein the proton pump inhibitor refers to benzimidazoles, such as Omeprazole, Lansoprazole, **Pantoprazole**, their salts or crystalline hydrate of the salts; the **cyclodextrin** derivative may be hydroxypropyl-β-**cyclodextrin** or β- **cyclodextrin** sulfobutylether sodium salt. The composition may also contain other water-soluble adjuvant materials. The composition is suitable for parenteral administration, preferably i.v. drip and i.v. push injection, and has the advantages of improved stability and water solubility

L16 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:110042 CAPLUS
DOCUMENT NUMBER: 144:280770
TITLE: Novel voltammetric method for enantioseparation of racemic methotrexate
AUTHOR(S): El-Hady, Deia Abd; Seliem, Mohamed Mahmoud; Gotti, Roberto; El-Maali, Nagwa Abo
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Assiut University, Assiut, 71516, Egypt
SOURCE: Sensors and Actuators, B: Chemical (2006), B113(2), 978-988
CODEN: SABCEB; ISSN: 0925-4005
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A carbon paste electrode is modified by hydrophobic mols. of hydroxypropyl a-**cyclodextrin** to form enantioselective membrane sensor. In neutral aqueous media, methotrexate (Mtx) enantiomers have been selectively accumulated at this enantioselective sensor depending on their hydrophilic/hydrophobic balance and then they can be quantified by voltammetric oxidation The effect of solution and instrumental parameters on rac-Mtx enantioresoln. is investigated by using window diagram approach. The optimal exptl. conditions for these compds. were as follows: benproperine, mobile phase: 0.05 mol/L NH4H2PO4 (pH 3.0)-acetonitrile (95:5, V/V), flow rate: 0.7 mL/min; MT-A5 and MT-Acid, mobile phase: 0.051 mol/L ammonium acetate (pH 5.0)-acetonitrile (74:26, V/V), flow rate: 0.7 mL/min; **pantoprazole**, mobile phase: 0.01 mol/L ammonium acetate (pH 5.5)-acetonitrile (93:7, V/V), flow rate: 0.9 mL/min; omeprazole and rabeprazole, mobile phase: 0.01 mol/L ammonium acetate (pH 3.0)-acetonitrile (95:5, V/V), flow rate: 0.7 mL/min; all the temps. were set at 20°C. The obtained resolution is sufficient to evaluate distomer -Mtx at 0.1% (m/m of e-Mtx, the main compound). Selectivity of the proposed procedure is estimated by testing recovery and adding the most interfering metal ions and/or organic compds. The enantioselective sensor is satisfactorily used to investigate simultaneously ssDNA interactions with L-Mtx and D-Mtx. It is observed that the stability of D-Mtx-ssDNA diasteriomeric complexation is higher than that of L-Mtx-ssDNA. These results are crucial in medical chemical for investigating many phenomena concerned with the carcinogenic effect of methotrexate. Using the com. available certified reference materials of L-Mtx and D-Mtx, the proposed enantioselective approach is validated to determine Mtx enantiopurity in its

tablets and injections with recovery values falling within the labeled amount of 90-110% with R.S.D. less than 6.00% required by US Pharmacopeia. Furthermore, high accuracy for application of the method to formulations is achieved and statistically confirmed by calculating F-test and t-test values at 95% confidence level.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1240817 CAPLUS
DOCUMENT NUMBER: 143:483155
TITLE: Stable pharmaceutical compositions containing benzimidazole derivatives and method of manufacturing the same
INVENTOR(S): Kim, Nam Ho; Choi, Jin Young; Kim, Jae Sun; Lee, Nam Kyu; Ryu, Je Ho; Hwang, Yong Youn; Oh, Yong Ho; Min, Dong Sun; Um, Key An; Kwak, Wie-Jong; Kum, Do Seung
PATENT ASSIGNEE(S): Sk Chemicals, Co. Ltd., S. Korea
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005110488 | A1 | 20051124 | WO 2005-KR1214 | 20050427 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| KR 2005105565 | A | 20051104 | KR 2004-30583 | 20040430 |
| PRIORITY APPLN. INFO.: | | | KR 2004-30583 A | 20040430 |

AB The present invention relates to an inclusion complex containing a benzimidazole derivative with excellent storage stability and a method of its preparation In particular, the present invention relates to an inclusion complex containing a benzimidazole derivative with improved storage stability and a method of its preparation, where an inclusion complex is manufactured by performing an inclusion reaction by combining a benzimidazole derivative, **cyclodextrin** and a water-soluble polymer in an aqueous alkali solution in order to be formulated after stabilizing an acid-unstable benzimidazole derivative For example, to a mixture of lansoprazole (369 mg, 1 mmol) and β- **cyclodextrin** (2.56 g, 2.2 15 mmol) was added hydroxypropyl Me cellulose in the amount of 20, 50, 100, 150 and 200 mg, resp., followed by 30 mL water and 1.2 mL of 1M-NaOH and then stirred at 50° for 6 h. Then, 74 mg of boric acid dissolved in 2.22 mL of distilled water was added thereto and stirred at 50° for 10 min. The reaction mixture was cooled down to 5° and kept in that condition for 18 h to form an inclusion complex. The inclusion complex was then filtered, washed several times with cold water and then dried under vacuum at 40° for 12 h to finally obtain a white inclusion complex, resp. The inclusion complexes obtained were shown to have superior storage stability as compared with the inclusion complexes and lansoprazole obtained in comparative examples.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1155541 CAPLUS
DOCUMENT NUMBER: 143:416253
TITLE: Combination of proton pump inhibitor, buffering agent, and prokinetic agent for treatment of gastric diseases
INVENTOR(S): Proehl, Gerald T.; Hall, Warren; Olmstead, Kay; Hepburn, Bonnie
PATENT ASSIGNEE(S): Santarus, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005239845 | A1 | 20051027 | US 2005-107349 | 20050415 |
| AU 2005249367 | A1 | 20051215 | AU 2005-249367 | 20050415 |
| CA 2561700 | AA | 20051215 | CA 2005-2561700 | 20050415 |
| WO 2005117870 | A2 | 20051215 | WO 2005-US12863 | 20050415 |
| WO 2005117870 | A3 | 20060427 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-562820P P 20040416
WO 2005-US12863 W 20050415

AB Pharmaceutical compns. comprising a proton pump inhibitor, one or more buffering agent and a prokinetic agent are described. Methods are described for treating gastric acid related disorders, using pharmaceutical compns. comprising a proton pump inhibitor, a buffering agent, and a prokinetic agent.

L16 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:902714 CAPLUS
DOCUMENT NUMBER: 143:235463
TITLE: Combination of proton pump inhibitor, buffering agent, and nonsteroidal anti-inflammatory agent
INVENTOR(S): Proehl, Gerald T.; Olmstead, Kay; Hall, Warren
PATENT ASSIGNEE(S): Santarus, Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005076987 | A2 | 20050825 | WO 2005-US3791 | 20050204 |
| WO 2005076987 | A3 | 20060608 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| AU 2005213472 | A1 | 20050825 | AU 2005-213472 | 20050204 |
| CA 2554271 | AA | 20050825 | CA 2005-2554271 | 20050204 |
| US 2005249806 | A1 | 20051110 | US 2005-51260 | 20050204 |
| EP 1718303 | A2 | 20061108 | EP 2005-722791 | 20050204 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU

PRIORITY APPLN. INFO.: US 2004-543636P P 20040210
WO 2005-US3791 W 20050204

AB Pharmaceutical compns. comprising a proton pump inhibitor, one or more

buffering agent and a nonsteroidal anti-inflammatory drug are described. Methods are described for treating gastric acid-related disorders and treating inflammatory disorders, using pharmaceutical compns. comprising a proton pump inhibitor, a buffering agent, and a nonsteroidal anti-inflammatory drug. For example, a powder for suspension formulation contained omeprazole 20 mg, ibuprofen 400 mg, sodium bicarbonate 1895 mg, Xylitol 300 (sweetener) 2000 mg, sucrose (sweetener) 1750 mg, sucralose (sweetener) 125 mg, xanthan gum 17 mg, peach flavor 47 mg, and peppermint 26 mg.

L16 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:638706 CAPLUS
DOCUMENT NUMBER: 143:159548
TITLE: Donepezil formulations
INVENTOR(S): Boehm, Garth; Dundon, Josephine
PATENT ASSIGNEE(S): Alpharma, Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005065645 | A2 | 20050721 | WO 2004-US42999 | 20041223 |
| WO 2005065645 | A3 | 20051027 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2552221 | AA | 20050721 | CA 2004-2552221 | 20041223 |
| US 2005232990 | A1 | 20051020 | US 2004-22346 | 20041223 |
| PRIORITY APPLN. INFO.: | | | US 2003-533496P P | 20031231 |
| | | | WO 2004-US42999 W | 20041223 |

AB Donepezil formulations, including amorphous donepezil or pharmaceutically acceptable salts thereof; sustained-release formulations; and donepezil sprinkle formulations are disclosed.

L16 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:423720 CAPLUS
DOCUMENT NUMBER: 142:469276
TITLE: Combination of proton pump inhibitor and sleep aid
INVENTOR(S): Hall, Warren; Olmstead, Kay; Proehl, Gerald T.
PATENT ASSIGNEE(S): Santarus, Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005044199 | A2 | 20050519 | WO 2004-US36989 | 20041105 |
| WO 2005044199 | A3 | 20050915 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, | | | | |

NE, SN, TD, TG

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|---|---|---|---|---|
| AU 2004287485 | A1 | 20050519 | AU 2004-287485 | 20041105 |
| CA 2543164 | AA | 20050519 | CA 2004-2543164 | 20041105 |
| US 2005244517 | A1 | 20051103 | US 2004-982369 | 20041105 |
| EP 1686976 | A2 | 20060809 | EP 2004-818347 | 20041105 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

PRIORITY APPLN. INFO.: US 2003-517743P P 20031105
WO 2004-US36989 W 20041105

AB Pharmaceutical compns. comprising a proton pump inhibitor, one or more buffering agent and a sleep aid are described. Methods are described for treating gastric acid related disorders and inducing sleep, using pharmaceutical compns. comprising a proton pump inhibitor, a buffering agent, and a sleep aid. Capsules were prepared containing omeprazole, buffers, triazolam sleep aid and excipients.

L16 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:76250 CAPLUS
DOCUMENT NUMBER: 142:183426
TITLE: Pharmaceutical formulations useful for inhibiting acid secretion
INVENTOR(S): Hall, Warren; Olmstead, Kay; Weston, Laura
PATENT ASSIGNEE(S): Santarus, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005007115 | A2 | 20050127 | WO 2004-US22914 | 20040716 |
| WO 2005007115 | A3 | 20050428 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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|---|---|---|---|---|
| AU 2004257779 | A1 | 20050127 | AU 2004-257779 | 20040716 |
| CA 2531564 | AA | 20050127 | CA 2004-2531564 | 20040716 |
| EP 1648416 | A2 | 20060426 | EP 2004-778425 | 20040716 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

PRIORITY APPLN. INFO.: US 2003-488321P P 20030718
WO 2004-US22914 W 20040716

AB In one general aspect of the present invention, oral pharmaceutical formulations comprising both a proton pump inhibitor microencapsulated with a material that enhances the shelf-life of the pharmaceutical composition and one or more antacid are described. In another general aspect of the present invention, pharmaceutical formulations comprising both a proton pump inhibitor microencapsulated with a taste-masking material and one or more antacid are described. For example, omeprazole was microencapsulated by spray drying of an aqueous mixture of Kollicoat IR, PEG 3350 and BHT at 10% of the encapsulated material. Encapsulated omeprazole (40 mg potency), sodium bicarbonate (1260 mg), calcium carbonate (790 mg), croscarmellose sodium (64 mg), Klucel (160 mg), Xylitab 100 (380 mg), microcryst. cellulose (128 mg), sucralose (162 mg), peppermint durarome (34 mg), peach flavor (100 mg), masking powder (60 mg), FD&C Lake Number 40 Red (3 mg), and magnesium stearate (32 mg) were pressed into chewable tablets with diams. of about 10 mm and average weight of approx. 600 mg per tablet.

L16 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1038813 CAPLUS
DOCUMENT NUMBER: 142:397430
TITLE: Coacervation method and stability study of **pantoprazole** as an antiulcerative drug

AUTHOR(S): Nesseem, Demiana I.; Bebawy, Lories I.
CORPORATE SOURCE: National Organization for Drug Control & Research, Cairo, Egypt
SOURCE: Bulletin of the Faculty of Pharmacy (Cairo University) (2003), 41(2), 223-234
CODEN: BFPHA8; ISSN: 1110-0931
PUBLISHER: Cairo University, Faculty of Pharmacy
DOCUMENT TYPE: Journal
LANGUAGE: English

AB **Pantoprazole** is a derivative of benzimidazole, characterized by photochem. instability with the goal to improve its photostability. Microencapsulations were prepared with different varying weight ratios of different copolymers using polyacrylate-polymethyl acrylate copolymer (Eudragit E) or β **cyclodextrin** (β-CD) as enteric coating material and a simple coacervation method as coating process. USP XXIV dissoln. method was adopted and each formulation was simultaneously monitored for a period of 30 min and analyzed by HPLC. The percent of labeled dose dissolved was calculated at each time point for each formula from the corresponding regression equation. Evaluation of the suggested formulas were performed through, differential scanning calorimeter, and FTIR. In addition NMR was conducted to predict the possible drug-copolymer interaction or the complex formation. Furthermore stability indicating HPLC method for the quant. determination of **pantoprazole** in presence of its photodegrdn. has been described. HPLC assay in the method isocratic elution was used without prior extraction procedure for the drug.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:757020 CAPLUS
DOCUMENT NUMBER: 139:281229
TITLE: Solid carriers for improved delivery of active ingredients in pharmaceutical compositions
INVENTOR(S): Patel, Mahesh V.; Chen, Feng-Jing
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 51 pp., Cont.-in-part of U.S. Ser. No. 800,593.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2003180352 | A1 | 20030925 | US 2002-159601 | 20020530 |
| US 6248363 | B1 | 20010619 | US 1999-447690 | 19991123 |
| US 2003064097 | A1 | 20030403 | US 2001-800593 | 20010306 |
| US 6569463 | B2 | 20030527 | | |

PRIORITY APPLN. INFO.: US 1999-447690 A3 19991123
US 2001-800593 A2 20010306

AB The present invention provides solid pharmaceutical compns. for improved delivery of a wide variety of active ingredients contained therein or sep. administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides, and solubilizers. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides, and solubilizers. For example, beads were prepared containing omeprazole 8.8%, PEG-150 monostearate 27.8%, PEG-40 monostearate 13.9%, Maisine 35-1 4.6%, magnesium carbonate 0.9%, and nonpareil seed (30/35 mesh) 44.1%. The beads were further coated with an enteric coating layer by spraying a Eudragit L100 solution

L16 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:610242 CAPLUS
DOCUMENT NUMBER: 139:154933
TITLE: Transmucosal delivery of proton pump inhibitors
INVENTOR(S): Widder, Ken; Hall, Warren; Olmstead, Kay
PATENT ASSIGNEE(S): Santarus, Inc., USA

SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003063840 | A2 | 20030807 | WO 2003-US2659 | 20030127 |
| WO 2003063840 | A3 | 20030904 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2472103 | AA | 20030807 | CA 2003-2472103 | 20030127 |
| US 2004006111 | A1 | 20040108 | US 2003-353143 | 20030127 |
| EP 1469839 | A2 | 20041027 | EP 2003-705972 | 20030127 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2005521662 | T2 | 20050721 | JP 2003-563534 | 20030127 |

PRIORITY APPLN. INFO.:
US 2002-351909P P 20020125
US 2002-374761P P 20020422
WO 2003-US2659 W 20030127

AB The present invention relates to pharmaceutical compns. and methods for transmucosal delivery of proton pump inhibitors. In one embodiment, the pharmaceutical composition of the present invention comprises a core which comprises an antacid, and an outer layer surrounding the core. The outer layer contains a therapeutically effective amount of a proton pump inhibitor. In another embodiment, the pharmaceutical composition of the present invention comprises an outer layer which comprising a unidirectional film, and an inner layer which contains a therapeutically effective amount of a proton pump inhibitor. In yet another embodiment, the pharmaceutical composition of the present invention is a unidirectional tablet for delivery of a proton pump inhibitor across the oral mucosa. In this embodiment, the pharmaceutical composition contains an outer layer which contains a pharmaceutically acceptable water impermeable layer, and an inner layer which contains a therapeutically effective amount of a proton pump inhibitor. A tablet composition contained in the outer layer; Klucel EXP 10, dicalcium phosphate 10, MgCO3-90S 20, FD&C Lake Red Number 0.1, and Compitol-888 1 mg/tablet; the inner layer comprised omeprazole 20, MgCO3-90S 20, Klucel EXP 10, and Mg stearate 0.6 mg/tablet.

L16 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:570853 CAPLUS
DOCUMENT NUMBER: 139:122787
TITLE: **Pantoprazole cyclodextrin** inclusion complexes
INVENTOR(S): Giordano, Ferdinando; Marzocchi, Lucia; Moyano, Jose Ramon; Rossi, Alessandra
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003059393 | A1 | 20030724 | WO 2003-EP242 | 20030113 |
| W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, TN, UA, US, VN, YU, ZA, ZW | | | | |
| RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR | | | | |
| CA 2472395 | AA | 20030724 | CA 2003-2472395 | 20030113 |

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|---|---|---|---|---|---|
| AU 2003202557 | A1 | 20030730 | AU 2003-202557 | | 20030113 |
| EP 1467770 | A1 | 20041020 | EP 2003-701506 | | 20030113 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | | |
| JP 2005514443 | T2 | 20050519 | JP 2003-559553 | | 20030113 |
| US 2005171057 | A1 | 20050804 | US 2003-501295 | | 20030113 |
| PRIORITY APPLN. INFO.: | | | EP 2002-288 | A | 20020115 |
| | | | EP 2002-6454 | A | 20020322 |
| | | | WO 2003-EP242 | W | 20030113 |

AB An inclusion complex formed from **pantoprazole**, a ATPase inhibitor used in therapy of disorders originating from increased gastric acid secretion, and **cyclodextrin** is described. For example, phase solubility studies of **pantoprazole** inclusion complexes with β- **cyclodextrin**, hydroxypropyl β- **cyclodextrin** (HPβ-CD), and sodium salt sulfobutyl ether β- **cyclodextrin** obtained by freeze drying showed that with all three **cyclodextrins**, a notable increase in the apparent solubility of **pantoprazole** in phosphate buffer solution was observed Inclusion complexation was not achieved through kneading. Freeze-drying permitted the preparation of an amorphous solid phase with HPβ-CD and **pantoprazole** sodium from their aqueous solution

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:383079 CAPLUS
DOCUMENT NUMBER: 140:117160
TITLE: Oral pharmaceutical formulations for proton pump inhibitor
AUTHOR(S): Anon.
CORPORATE SOURCE: UK
SOURCE: Research Disclosure (2003), 468(April), P523 (No. 467144)
CODEN: RSDSBB; ISSN: 0374-4353
PUBLISHER: Kenneth Mason Publications Ltd.
DOCUMENT TYPE: Journal; Patent
LANGUAGE: English
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| RD 467144 | | 20030310 | | |
| PRIORITY APPLN. INFO.: | | | RD 2003-467144 | 20030310 |

AB The chemical formula is presented of an acid-labile benzimidazole in oral pharmaceutical formulations for proton pump inhibition. Components of a compressed tablet core, an intermediate barrier layer, and an enteric coat of the formulation are described.

L16 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:173369 CAPLUS
DOCUMENT NUMBER: 138:210327
TITLE: Preparation of pharmaceuticals containing **cyclodextrin**-drug complexes
INVENTOR(S): Loftsson, Thorsteinn; Masson, Mar
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003017921 | A2 | 20030306 | WO 2002-SE1519 | 20020826 |
| WO 2003017921 | A3 | 20031113 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| AU 2002326275 | A1 | 20030310 | AU 2002-326275 | 20020826 |
| EP 1423094 | A2 | 20040602 | EP 2002-760974 | 20020826 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2005503454 | T2 | 20050203 | JP 2003-522444 | 20020826 |
| US 2004242538 | A1 | 20041202 | US 2004-488123 | 20040227 |
| PRIORITY APPLN. INFO.: | | | SE 2001-2856 A | 20010827 |
| | | | WO 2002-SE1519 W | 20020826 |

AB This invention relates to a new method to improve the complexation efficacy of a basic active substance and a **cyclodextrin** using an acidic volatile substance. The invention further relates to a method to prepare high-energy complexes of a basic active substance and a **cyclodextrin** that form super-saturated solns. when dissolved. Also, the present invention relates to a pharmaceutical formulation comprising the complex and the use of such a formulation in therapy. Tamoxifen-β- **cyclodextrin** complexes were prepared by using 5 equiv of HOAc and using 2% β-CyD solution The solution was lyophilized, the complex was sieved and heated in an oven for several days.

L16 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:114013 CAPLUS
DOCUMENT NUMBER: 136:172763
TITLE: Method for preparing an oral formulation containing acid-sensitive drugs
INVENTOR(S): Hsiao, Fang-Hsiung; Lin, Chien-Chu; Changchien, Ya-Ching
PATENT ASSIGNEE(S): Standard Chem. & Pharm. Co., Ltd., Taiwan
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6346269 | B1 | 20020212 | US 2000-567083 | 20000508 |
| PRIORITY APPLN. INFO.: | | | US 2000-567083 | 20000508 |

AB A method for preparing an oral formulation containing acid-sensitive drugs includes at least the following step: spreading a solution or a suspension containing at least stabilizers, solvents and acid-sensitive drugs or its pharmaceutically acceptable salts onto a core made from one or more excipients, and then drying the core to make an active ingredient layer over the core. The acid-sensitive drugs are substituted benzimidazole gastric anti-secretory agents selected from omeprazole, lansoprazole and **pantoprazole**. The oral formulation is a pellet, a tablet, or a minitablet made by a wet granulation method. For example, pellets were prepared containing (a) a core, (b) a layer with an active ingredient containing omeprazole, hydroxypropyl cellulose (HPC), PEG, dibasic sodium phosphate, tribasic sodium phosphate, talc and water, (c) a sub-coating layer containing HPC, PEG, talc and water, and (d) an enteric-coating layer containing hydroxypropyl Me cellulose acetate succinate, talc and water. Pellets were filled in gelatin capsules which showed the average dissoln. rate lower than 10%.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:525902 CAPLUS
DOCUMENT NUMBER: 135:111990
TITLE: Enteric coated pharmaceutical formulation
INVENTOR(S): Woolfe, Austen John
PATENT ASSIGNEE(S): Norton Healthcare Ltd., UK
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001051031 | A2 | 20010719 | WO 2001-GB72 | 20010110 |
| WO 2001051031 | A3 | 20011227 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| EP 1185250 | A2 | 20020313 | EP 2001-900496 | 20010110 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

| | | |
|---|---|---|
| PRIORITY APPLN. INFO.: | GB 2000-482 | A 20000111 |
| | WO 2001-GB72 | W 20010110 |

AB A method of making an oral pharmaceutical dosage form of an aqueous soluble drug includes the steps of: forming a solution or suspension of the drug, a soluble polymer and a binding or gelling agent; contacting the solution or suspension with an acid precipitating medium allowing the polymer to precipitate to form particles containing the dissolved drug; drying the particles; wherein the gelling or binding agent influences or prevents migration of the drug towards the surface of the particles during drying. Hydroxypropyl Me cellulose phthalate macroparticles were prepared using PVP and emulsions were freeze dried. Diclofenac was used for drug loading.

L16 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:475425 CAPLUS
DOCUMENT NUMBER: 133:94537
TITLE: Pharmaceutical formulations containing inclusion amino acid salts compounds of benzimidazole derivatives with **cyclodextrins**
INVENTOR(S): Mendes Cerdeira, Ana Maria; De Sousa Goucha, Jorge Pedro Manuel
PATENT ASSIGNEE(S): Tecnimede-Sociedade Tecnico-Medicinal, S.A., Port.
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1018340 | A1 | 20000712 | EP 1999-670003 | 19990106 |
| EP 1018340 | B1 | 20030910 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

| | | | | |
|---|---|---|---|---|
| AT 249218 | E | 20030915 | AT 1999-670003 | 19990106 |
| PT 1018340 | T | 20031231 | PT 1999-670003 | 19990106 |
| ES 2149750 | T3 | 20040601 | ES 1999-670003 | 19990106 |

| | | |
|---|---|---|
| PRIORITY APPLN. INFO.: | EP 1999-670003 | A 19990106 |

AB The present invention concerns new very stable inclusion compds. from a hydrosol. basic amino acid salt of a benzimidazole derivative, namely omeprazole, lansoprazole and **pantoprazole**, and one or more **cyclodextrins**, preferably β- **cyclodextrin**; the process of their preparation, and their use in the manufacture of a medicine for the prophylactic and therapeutic treatment of duodenal gastric ulcer, gastro esophageal reflow disease and Zollinger-Ellison-syndrome are also disclosed. To a solution of 7.4 g L-arginine in 200 mL of water was added 3.0 g omeprazole followed by addition of 2.68 g of β- **cyclodextrin** and stirred for 2 h. After the lyophilization, the resulting inclusion compound (1:5:2) was kept at 40° and 75% RH for 6 mo to show degradation products of 0.8%. A tablet contained the above inclusion compound 86.8, microcryst. cellulose 213.0, colloidal silica 3.0, and magnesium stearate 3.0 g.

L16 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:190898 CAPLUS
DOCUMENT NUMBER: 132:241943
TITLE: Quick release oral pharmaceutical compositions

INVENTOR(S): Bertelsen, Poul; Hansen, Nils Gjerlov; Ruckendorfer, Hermann; Itai, Shigeru
PATENT ASSIGNEE(S): Nycomed Danmark A/S, Den.
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000015195 | A1 | 20000323 | WO 1999-DK480 | 19990910 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2343148 | AA | 20000323 | CA 1999-2343148 | 19990910 |
| CA 2343148 | C | 20051115 | | |
| AU 9955045 | A1 | 20000403 | AU 1999-55045 | 19990910 |
| EP 1109534 | A1 | 20010627 | EP 1999-941418 | 19990910 |
| EP 1109534 | B1 | 20030212 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| TR 200100708 | T2 | 20010723 | TR 2001-200100708 | 19990910 |
| JP 2002524492 | T2 | 20020806 | JP 2000-569779 | 19990910 |
| AT 232382 | E | 20030215 | AT 1999-941418 | 19990910 |
| PT 1109534 | T | 20030630 | PT 1999-941418 | 19990910 |
| ES 2190241 | T3 | 20030716 | ES 1999-941418 | 19990910 |
| US 6713089 | B1 | 20040330 | US 2001-786864 | 20010710 |
| US 2005147668 | A1 | 20050707 | US 2004-758233 | 20040113 |

PRIORITY APPLN. INFO.:
DK 1998-1143 A 19980910
WO 1999-DK480 W 19990910
US 2001-786864 A1 20010710

AB The present invention relates to an oral modified release pharmaceutical composition for the administration of a therapeutically and/or prophylactically effective amount of a drug to obtain a relatively fast or quick onset of the therapeutic and/or prophylactic effect. The drugs contained in a modified release pharmaceutical composition are substances which have a very low solubility under acidic conditions, i.e. under conditions similar to those present in the stomach and/or drugs which have a pKa value below about 5.5 such as in a range of from about 4 to about 5. The composition is based on a powder comprising a prophylactically active substance and has such a particle size that: when the powder is subjected to a sieve anal., then at least about 90% of the particles passes through sieves 180 <mm and the powder is contacted with an aqueous medium to form a particulate composition, which has such a particle size that when the particulate composition is subjected to a sieve anal., then at least about 50% of the particles passes through sieve 180 <mm. Furthermore, the composition, when tested in accordance with the dissoln. method (I) defined employing 0.07N HCl as dissoln. medium, releases at least about 50% of the active substance within the first 20 min of the test. Tablets were manufactured from ibuprofen 80.0, NaHCO3 400.0, Avicel PH-101 960.0, anhydrous calcium hydrogen phosphate 1104.0, L-HPC 480.0, hydroxypropyl cellulose 160.0, water 1080.0, EtOH 360.0 and calcium stearate 5.0 g/kg.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:718345 CAPLUS
DOCUMENT NUMBER: 125:339078
TITLE: Pharmaceutical composition containing hydroxypropyl-β- **cyclodextrin**-profolol complex
INVENTOR(S): Penkler, Lawrence John; Whittaker, Darryl Vanstone
PATENT ASSIGNEE(S): Farmarc Nederland Bv of Citco Trust International, Neth.; Dyer, Alison Margaret
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9632135 | A1 | 19961017 | WO 1996-GB737 | 19960327 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN | | | | |
| ZA 9602214 | A | 19961007 | ZA 1996-2214 | 19960319 |
| AU 9651550 | A1 | 19961030 | AU 1996-51550 | 19960327 |
| PRIORITY APPLN. INFO.: | | | ZA 1995-2938 A | 19950410 |
| | | | WO 1996-GB737 W | 19960327 |

AB A pharmaceutical composition for administration as an injection or as a retention enema contains an inclusion complex of propofol and 2-hydroxypropyl-β- **cyclodextrin**, with approx. a 1:2 mol/mol stoichiometry the composition including a co-solvent where necessary. The pharmaceutical composition is prepared by preparing a solution of 2-hydroxypropyl-β- **cyclodextrin** and then adding an amt.of propofol to provide the desired molar ratio, and if necessary, adding the pharmaceutically acceptable cosolvent. Thus, in an aseptic environment, 1000 mL water for injection was used for dissolving **1023** g 2-hydroxypropyl-β- **cyclodextrin** followed by the addition of 52.0 g propofol. The solution was filtered into presterilized glass ampuls and was shown to be stable for at least 2 wk.